

## PATENT COOPERATION TREATY

**PCT****INTERNATIONAL SEARCH REPORT**

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference <b>X16661</b>	<b>FOR FURTHER ACTION</b>	see Form PCT/ISA/220 as well as, where applicable, item 5 below.
International application No. <b>PCT/US2005/007051</b>	International filing date ( <i>day/month/year</i> ) <b>08/03/2005</b>	(Earliest) Priority Date ( <i>day/month/year</i> ) <b>12/03/2004</b>
Applicant  <b>ELI LILLY AND COMPANY</b>		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 5 sheets.

It is also accompanied by a copy of each prior art document cited in this report.

**1. Basis of the report**

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

The international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b  With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, see Box No. I.

2.  **Certain claims were found unsearchable** (See Box II).

3.  **Unity of invention is lacking** (see Box III).

4. With regard to the **title**,

the text is approved as submitted by the applicant.

the text has been established by this Authority to read as follows:

5. With regard to the **abstract**,

the text is approved as submitted by the applicant.

the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. With regard to the **drawings**,

- a. the figure of the **drawings** to be published with the abstract is Figure No. \_\_\_\_\_

as suggested by the applicant.

as selected by this Authority, because the applicant failed to suggest a figure.

as selected by this Authority, because this figure better characterizes the invention.

- b.  none of the figures is to be published with the abstract

## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/US2005/007051

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07C235/44 C07C235/46 A61K31/166 A61P3/04

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, BEILSTEIN Data, CHEM ABS Data, WPI Data, PAJ

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No
X	<p>✓ DATABASE BEILSTEIN          BEILSTEIN INSTITUT ZUR FÖRDERUNG DER          CHEMISCHEN WISSENSCHAFT, FRANKFURT AM          MAIN, DE;          XP002334306          retrieved from XFIRE          Database accession no. 5541857, 5745449          abstract          &amp; J. MED. CHEM.,          vol. 27, no. 2, 1984, pages 129-143,          -----</p> <p>✓ GB 1 504 604 A (CIBA-GEIGY AG)          22 March 1978 (1978-03-22)          Compound 7 in example 10          -----          -/-</p>	1,2,4-7, 9
X		1,2,4-7, 9-14



Further documents are listed in the continuation of box C



Patent family members are listed in annex

## ° Special categories of cited documents

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

\*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance, the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

\*&\* document member of the same patent family

Date of the actual completion of the international search

4 July 2005

Date of mailing of the international search report

10/08/2005

Name and mailing address of the ISA

European Patent Office, P B 5818 Patentlaan 2  
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 Fax (+31-70) 340-3016

Authorized officer

Cooper, S

## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/US2005/007051

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No
X	✓US 5 965 741 A (BREAULT ET AL) 12 October 1999 (1999-10-12) Table VI, compound 17; column 18, line 35 - column 21, line 8; claim 1 -----	1-7,9-14
P,A	✓WO 2004/026305 A (ELI LILLY AND COMPANY; BLANCO-PILLADO, MARIA-JESUS; CHAPPELL, MARK, DO) 1 April 2004 (2004-04-01) abstract -----	1-15

## INTERNATIONAL SEARCH REPORT

### Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1.  Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:  

Although claims 10–14 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2.  Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3.  Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

### Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1.  As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2.  As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3.  As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4.  No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

#### Remark on Protest

- The additional search fees were accompanied by the applicant's protest.  
 No protest accompanied the payment of additional search fees.

**INTERNATIONAL SEARCH REPORT**

Information on patent family members

International Application No

PCT/US2005/007051

Patent document cited in search report		Publication date		Patent family member(s)		Publication date
GB 1504604	A	22-03-1978	AT	340388 B		12-12-1977
			AT	604275 A		15-04-1977
			AT	340390 B		12-12-1977
			AT	783276 A		15-04-1977
			AT	340391 B		12-12-1977
			AT	783376 A		15-04-1977
			AT	340392 B		12-12-1977
			AT	783576 A		15-04-1977
			AT	340393 B		12-12-1977
			AT	783676 A		15-04-1977
			AU	8362675 A		10-02-1977
			BE	832082 A1		04-02-1976
			CA	1069923 A1		15-01-1980
			CH	612910 A5		31-08-1979
			CH	612911 A5		31-08-1979
			CH	612912 A5		31-08-1979
			CH	612913 A5		31-08-1979
			CH	612909 A5		31-08-1979
			DE	2534339 A1		19-02-1976
			DK	317175 A		06-02-1976
			ES	439997 A1		16-06-1977
			ES	455488 A1		01-01-1978
			ES	455489 A1		01-01-1978
			ES	455490 A1		01-01-1978
			ES	455491 A1		01-01-1978
			FI	752095 A		06-02-1976
			FR	2281105 A1		05-03-1976
			HU	175581 B		28-09-1980
			IE	42335 B1		16-07-1980
			IL	47813 A		25-07-1979
			JP	51041345 A		07-04-1976
			NL	7509270 A		09-02-1976
			NO	752621 A		06-02-1976
			SE	7508181 A		06-02-1976
			US	4329367 A		11-05-1982
			ZA	7504192 A		30-06-1976
			ZA	7505018 A		28-07-1976
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US 5965741	A	12-10-1999	AT	185791 T		15-11-1999
			AU	3351995 A		22-03-1996
			DE	69512925 D1		25-11-1999
			DE	69512925 T2		04-05-2000
			EP	0778821 A1		18-06-1997
			WO	9606822 A1		07-03-1996
			JP	10504836 T		12-05-1998
-----						
WO 2004026305	A	01-04-2004	AU	2003269980 A1		08-04-2004
			CA	2499690 A1		01-04-2004
			WO	2004026305 A1		01-04-2004
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# PATENT COOPERATION TREATY

From the  
INTERNATIONAL SEARCHING AUTHORITY

To:

see form PCT/ISA/220

X/6661

PCT

## WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY

(PCT Rule 43bis.1)

12 DEC 2005 / 12 JAN 2006

Date of mailing  
(day/month/year) see form PCT/ISA/210 (second sheet)

### FOR FURTHER ACTION

See paragraph 2 below

Applicant's or agent's file reference  
see form PCT/ISA/220

International application No  
PCT/US2005/007051

International filing date (day/month/year)  
08.03.2005

Priority date (day/month/year)  
12.03.2004

International Patent Classification (IPC) or both national classification and IPC  
C07C235/44, C07C235/46, A61K31/166, A61P3/04

Applicant

ELI LILLY AND COMPANY

#### 1. This opinion contains indications relating to the following items:

- Box No. I Basis of the opinion
- Box No. II Priority
- Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- Box No. IV Lack of unity of invention
- Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- Box No. VI Certain documents cited
- Box No. VII Certain defects in the international application
- Box No. VIII Certain observations on the international application

#### 2. FURTHER ACTION

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA"). However, this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of three months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

#### 3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA:



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**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY**

International application No.  
PCT/US2005/007051

**Box No. I Basis of the opinion**

1. With regard to the **language**, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.  
 This opinion has been established on the basis of a translation from the original language into the following language , which is the language of a translation furnished for the purposes of international search (under Rules 12.3 and 23.1(b)).
2. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
  - a. **type of material:**  
 a sequence listing  
 table(s) related to the sequence listing
  - b. **format of material:**  
 in written format  
 in computer readable form
  - c. **time of filing/furnishing:**  
 contained in the international application as filed.  
 filed together with the international application in computer readable form.  
 furnished subsequently to this Authority for the purposes of search.
3.  In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

**WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING  
AUTHORITY (SEPARATE SHEET)**

International application No.

PCT/US2005/007051

- D1: DATABASE BEILSTEIN BEILSTEIN INSTITUT ZUR FÖRDERUNG DER CHEMISCHEN WISSENSCHAFT, FRANKFURT AM MAIN, DE; XP002334306 retrieved from XFIRE Database accession no. 5541857, 5745449
- D2: GB-A-1 504 604 (CIBA-GEIGY AG) 22 March 1978 (1978-03-22)
- D3: US-A-5 965 741 (BREAUET ET AL) 12 October 1999 (1999-10-12)